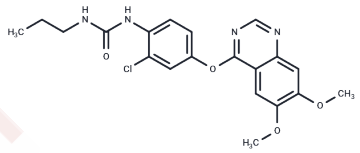
Data Sheet (Cat.No.T6137)

TargetMol

KRN-633

Chemical Properties



CAS No. : 286370-15-8

Formula: C20H21ClN4O4

Molecular Weight: 416.86

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	KRN-633 is an effective VEGFR inhibitor. The IC50s of KRN-633(KRN633) for VEGFR1, VEGFR2, and VEGFR3 is 170, 160 and 125 nM, respectively.
Targets(IC50)	VEGFR
In vitro	In human umbilical vein endothelial cells, KRN-633 inhibits tyrosine phosphorylation of VEGFR-1, VEGFR2, c-Kit, and PDGFR-β with IC50 of 11.7, 1.16, 8.01, 130 nM, respectively. In endothelial cells, KRN-633 inhibits the formation of the capillary tube. KRN-633 suppress the VEGF-driven proliferation of HUVECs (IC50=14.9 nM).
In vivo	In athymic mouse and rat xenograft models, KRN-633 was able to inhibit tumor growth in various tissue sources such as lungs, colon, and prostate. It was also observed that in the non-necrosis region of tumor grafts, the number of endothelial cells was reduced and vascular permeability decreased. In the regenerated tumors, KRN-633 can also ablate tumor growth.
Kinase Assay	In cell-free kinase assays,with1 μM ATP the IC50 of KRN-633 is from 0.3 nM to 10 μM.
Cell Research	Cancer cells, such as A549, Ls174T, HT29, DU145, LNCap, and PC-3 cells, are cultured for 24 hours, then add KRN-633 (0.01 to 10 μM)that is prepared in 0.1% DMSO in the medium growing for 96 hours.
Animal Research	In tumor xenografts mice model, are treated with KRN-633(10-100 mg/kg) once or twice per day. In human tumor xenografts athymic rats (BALB/cA, Jcl-nu), when the tumors reach the average size indicated (162 to 657 mm3), and are treated with KRN-633 either once or twice per day. After 14days treatment, Calculate the tumor growth inhibition.

Solubility Information

Solubility	DMSO: 6 mg/mL (14.39 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3989 mL	11.9944 mL	23.9889 mL
5 mM	0.4798 mL	2.3989 mL	4.7978 mL
10 mM	0.2399 mL	1.1994 mL	2.3989 mL
50 mM	0.048 mL	0.2399 mL	0.4798 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Nakamura K, et al. Mol Cancer Ther, 2004, 3(12), 1639-1649.
Piekarska K, Spyt D, Bonowicz K, et al.Impact of angiogenesis inhibitors on inflammatory activation in human vascular endothelial cells.Medical Research Journal.2024
Ban HS, et al. Cancer Lett, 2010, 296(1), 17-26.
Wada Y, et al. J Pharmacol Sci, 2010, 112(3), 290-298.